CLAIMS

1. A composition comprising:

(a) a micelle-forming biocompatible diblock copolymer (X-Y) having a hydrophilic block X comprising residues of monomer x, and a hydrophobic block Y comprising residues of monomer y;

(b) amino acid; and

(c) a hydrophobic drug;

with the proviso that the composition forms a micellar solution in water.

2. A composition comprising:

(a) a micelle-forming biocompatible diblock copolymer (X-Y) having a hydrophilic block X comprising residues of monomer x, and a hydrophobic block Y comprising residues of monomer y;

(b) oligopeptide; and

(c) a hydrophobic drug;

with the proviso that the composition forms a micellar solution in water.

3. A composition comprising:

(a) a biocompatible diblock copolymer (X-Y) having a block X comprising residues of monomer x, and a block Y comprising residues of monomer y, the block X being more hydrophilic than the block Y;

(b) an additive selected from amino acid and oligopeptide; and

(c) a hydrophobic drug;

with the proviso that the composition forms a micellar solution in aqueous media.

4. A composition comprising:

(a) a micelle-forming biocompatible block copolymer having a Y-X-Y or X-Y-X structure, wherein the copolymer has a hydrophilic block X comprising residues of monomer x, and a hydrophobic block Y comprising residues of monomer y;

(b) amino acid; and

(c) a hydrophobic drug;

with the proviso that the composition forms a micellar solution in water.

5. A composition comprising:

(a) a micelle-forming biocompatible block copolymer having a Y-X-Y or X-Y-X structure, wherein the copolymer has a hydrophilic block X comprising residues of monomer x, and a hydrophobic block Y comprising residues of monomer y;

(b) oligopeptide; and

(c) a hydrophobic drug;

with the proviso that the composition forms a micellar solution in water.

6. A composition comprising:

(a) a biocompatible block copolymer having a Y-X-Y or X-Y-X structure, wherein the copolymer has a block X comprising residues of monomer x, and a block Y comprising residues of monomer y, the block X being more hydrophilic than the block Y;

(b) an additive selected from amino acid and oligopeptide; and

(c) a hydrophobic drug;

with the proviso that the composition forms a micellar solution in aqueous media.

7. The composition of any one of claims 1-6 wherein the block X comprises residues of one or more monomers selected from (meth)acrylic acid, styrene sulfonate, 2-acrylamido-2-methyl propane sulfonic acid, acrylamide, vinylpyrrolidone, saccharide, and amino acid.

8. The composition of any one of claims 1-6 wherein the block X comprises residues of alkylene oxide.

9. The composition of any one of claims 1-6 wherein block X comprises poly(alkylene oxide).

10. The composition of claim 9 wherein the poly(alkylene oxide) is selected from poly(ethylene oxide) and terminal C_1-C_6 alkyl ethers of poly(ethylene oxide).

11. The composition of claim 10 wherein the terminal C_1-C_6 alkyl ether of the polyethylene oxide is methoxy polyethylene oxide.

12. The composition of claim 9 wherein the poly(alkylene oxide) is poly(ethylene oxide).

13. The composition of any one of claims 1-6 wherein the block Y comprises residues of monomers selected from methacrylic acid, esters of methacrylic acid, esters of acrylic acid, styrene, and vinyl acetate.

14. The composition of any one of claims 1-6 wherein the block Y comprises residues of monomers selected from lactic acid and reactive equivalents thereof, glycolic acid and reactive equivalents thereof, caprylic acid and reactive equivalents thereof, trimethylene carbonate, 1,4-dioxane-2-one, and 1,5-dioxepan-2-one.

15. The composition of claim 14 wherein the block Y is poly-DL-lactide-co-glycolide.

16. The composition of claim 14 wherein the block Y is poly-DL-lactide.

17. The composition of claims 1-3 wherein block X comprises residues of monomers selected from alkylene oxide, acrylic acid, vinyl pyrrolidone, saccharide, and amino acid, and block Y comprises residues of monomers selected from lactide or reactive equivalents thereof, glycolide or reactive equivalents thereof,

caprolactone or reactive equivalents thereof, hydrophobic amino acid, carbonate, and vinyl acetate.

18. The composition of claim 17 wherein block X comprises residues of alkylene oxide, and block Y comprises residues of monomers selected from lactide or reactive equivalents thereof, glycolide or reactive equivalents thereof, caprolactone or reactive equivalents thereof, trimethylene carbonate, 1,4-dioxane-2-one, and 1,5-dioxepan-2one.

19. The composition of claim 18 wherein block X comprises residues of alkylene oxide and block Y comprises residues of monomers selected from lactide or reactive equivalents thereof and glycolide or reactive equivalents of glycolide.

20. The composition of claim 17 wherein the alkylene oxide is ethylene oxide.

21. The composition of claim 18 wherein the block Y comprises residues of lactide.

22. The composition of claim 17 wherein block X comprises methoxy polyethylene oxide.

23. The composition of claim 22 wherein block Y comprises poly(DL-lactide).

24. The composition of claim 1-3 wherein 100 parts of diblock copolymer comprise 40-90 parts hydrophilic polymer X and 60-10 parts hydrophobic polymer Y.

25. The composition of claim 24 wherein 100 parts of diblock copolymer comprise 40-80 parts hydrophilic polymer X and 60-20 parts hydrophobic polymer Y.

26. The composition of claim 24 wherein 100 parts of diblock copolymer comprise 50-70 parts hydrophilic polymer X and 50-30 parts hydrophobic polymer Y.

27. The composition of claim 24 wherein 100 parts of diblock copolymer comprise about 60 parts hydrophilic polymer X and about 40 parts hydrophobic polymer Y.

28. The composition of any one of claim 1-3 wherein the diblock copolymer has a number average molecular weight of about 1,000 to about 10,000 g/mol.

29. The composition of claim 28 wherein the diblock copolymer has a number average molecular weight of about 2,000 to about 5,000 g/mol.

30. The composition of claim 28 wherein the diblock copolymer has a number average molecular weight of about 2,500 to about 3,500 g/mol.

31. A composition of any one of claims 1, 3, 4, or 6 wherein the amino acid has a water-solubility of greater than about 2.5g per 100g water at 25°C.

32. A composition of claim 31 where the amino acid is selected from the L and D isomers of alanine, arginine, asparagines, cysteine, glutamine, glycine, histidine, isoleucine, lysine, methionine, phenylalanine, proline, threonine, and valine.

33. A composition of any one of claims 2, 3, 5, or 6 wherein the oligopeptide has a water-solubility of greater than about 2.5g per 100g water at 25°C.

34. The composition of any one of claims 1, 3, 4, or 6 wherein the amino acid is a naturally occurring amino acid.

35. The composition of claim 34 wherein the amino acid is selected from L and D isomers of alanine, arginine, asparagine, aspartic acid, cysteine, glutamine, glutamic acid, glycine, histidine, isoleucine, leucine, lysine, methionine, phenylalanine, proline, serine, threonine, tryptophane, tyrosine, and valine.

36. The composition of any one of claims 1, 3, 4, or 6 wherein the amino acid is a non-naturally occurring amino acid.

37. The composition of claim 36 wherein the non-naturally occurring amino acid is selected from the group consisting of β-alanine, α-amino butyric acid, γ-amino butyric acid, γ-(aminophenyl) butyric acid, α-amino isobutyric acid, ε-amino caproic acid, 7-amino heptanoic acid, β-aspartic acid, aminobenzoic acid, aminophenyl acetic acid, aminophenyl butyric acid, γ-glutamic acid, cysteine (ACM), ε-lysine, ε-lysine, (A-Fmoc), methionine sulfone, norleucine, norvaline, ornithine, d-ornithine, p-nitro-phenylalanine, hydroxy proline, 1,2,3,4,-tetrahydroisoquinoline-3-carboxylic acid and thioproline.

38. The composition of any one of claims 1-6 further comprising MePEG.

39. The composition of claim 38 wherein the MePEG has a molecular weight of 200 – 750 g/mol.

40. The composition of claim 38 wherein the MePEG has a molecular weight of 550 – 2000 g/mol

41. The composition of claim 38 wherein the MePEG has a molecular weight of 750 – 5000 g/mol.

42. The composition of claim 38 wherein the MePEG has a molecular weight of 200 – 5000 g/mol.

43. The composition of any one of claims 1-6 comprising about 1 to about 5 parts block copolymer per each 1 part additive, on a weight basis.

44. The composition of any one of claims 1-6 wherein the hydrophobic drug is selected from the group consisting of chemotherapeutic, antibiotic, antimicrobial, antimicrotubule, anti-inflammatory, immunosuppressant and antiproliferative drugs.

45. The composition of any one of claims 1-6 wherein the drug is selected from paclitaxel, paclitaxel derivatives and paclitaxel analogues.

46. The composition of any one of claims 1-6 wherein the drug is paclitaxel.

47. The composition of any one of claims 1-6 further comprising a buffering constituent.

48. The composition of claim 47 wherein the buffering constituent comprises a phosphate salt.

49. The composition of any one of claims 1-3 comprising 10-90 parts diblock copolymer, 10-70 parts additive selected from amino acid and oligopeptide, 1-15 parts paclitaxel and 1-20 parts phosphate salt.

50. The composition of any one of claims 1-3 comprising about 50-80 parts of diblock copolymer, about 10-40 parts additive selected from amino acid and oligopeptide, about 8 parts paclitaxel and about 18 parts phosphate salt, the parts in weight totaling 100.

51. The composition of any one of claims 1-3 comprising about 55-75 parts diblock copolymer, about 15-35 parts additive selected from amino acid and oligopeptide, about 7 parts paclitaxel and about 11 parts phosphate salt, the parts in weight totaling 100.

52. The composition of any one of claims 1-6 having less than 5% moisture content.

53. The composition of claim 52 having less than 0.5 % moisture content.

54. The composition of claim 52 or 53 wherein the composition is sterile.

55. The compositions of any one of claims 1-6 or 52-54 wherein the composition is produced through lyophilization of a micellar solution.

56. The composition of any one of claims 54 wherein the composition is packaged within a container that maintains the sterility of the composition.

57. The composition of claim 56 wherein the composition is packaged within packaging comprising a glass container with a sealed closure.

58. The composition of claim 56 wherein the composition is packaged within packaging comprising a plastic container with a sealed closure.

59. The composition of claims 56 wherein the packaging further comprises a sufficient volume of empty space to allow for the addition of water in a sufficient amount to produce a micelle-containing composition.

60. The composition of claims 56 wherein the packaging is substantially opaque to UV or visible light.

61. The composition of claims 56 wherein the packaging is substantially impervious to oxygen from air.

62. The composition of any one of claims 1-6 further comprising a bacteriacidal or bacteriostatic compound.

63. The composition of any one of claims 1-6 further comprising an antioxidant.

64. The composition of any one of claims 1-6 further comprising a coloring agent.

65. A method of producing the composition according to claim 52 comprising treating the composition according to a sterilization process selected from sterile filtration, sterilization with ethylene oxide, and sterilization with ionizing radiation.

66. The composition of any one of claims 1-6 further comprising water to form an aqueous composition, the aqueous composition comprising micelles.

67. A composition comprising:

(a) a biocompatible diblock copolymer (X-Y) having a hydrophilic block X and a hydrophobic block Y;

(b) amino acid,

(c) a hydrophobic drug; and

(d) water;

wherein the composition comprises micelles.

68. A composition comprising

(a) a biocompatible diblock copolymer (X-Y) having a hydrophilic block X, and a hydrophobic block Y;

(b) an oligopeptide;

(c) a hydrophobic drug; and

(d) water;

wherein the composition comprises micelles.

69. A composition comprising

(a) a biocompatible diblock copolymer (X-Y) having a hydrophilic block X, and a hydrophobic block Y;

(b) two different amino acids;

(c) a hydrophobic drug; and

(d) water;

wherein the composition comprises micelles.

70. A composition comprising

(a) a biocompatible block copolymer having a X-Y-X or Y-X-Y structure, wherein the copolymer has a hydrophilic block X and a hydrophobic block Y;

(b) amino acid,

(c) a hydrophobic drug; and

(d) water;

wherein the composition comprises micelles.

71. A composition comprising

(a) a biocompatible block copolymer having a X-Y-X or Y-X-Y structure, wherein the copolymer has a hydrophilic block X, and a hydrophobic block Y;

(b) an oligopeptide;

(c) a hydrophobic drug; and

(d) water;

wherein the composition comprises micelles.

72. A composition comprising

(a) a biocompatible block copolymer having a X-Y-X or Y-X-Y structure, wherein the copolymer has a hydrophilic block X, and a hydrophobic block Y;

(b) two different amino acids;

(c) a hydrophobic drug; and

(d) water;

wherein the composition comprises micelles.

73. A method for forming a drug delivery vehicle, comprising:

(a) providing the composition of any one of claims 1-6; and

(b) adding water to the composition to form a micelle-containing composition.

74. A method of forming a composition of any one of claims 1-3 comprising sequentially:

(a) combining the diblock copolymer, amino acid or oligopeptide additive and hydrophobic drug with an additional processing solvent; and

(b) removing the processing solvent by evaporation or distillation.

75. A method according to claim 78 wherein the processing solvent comprises an organic solvent selected from the group consisting of tetrahydrofuran, ethanol, acetonitrile, chloroform, and dichloromethane.

76. A method according to claim 74 further comprising adding water to the composition.

77. A method of treating a disease in a mammal comprising the administration of an effective amount of a composition of any one of claims 1-6 to the mammal, the drug being efficacious at treating the disease.

78. A method of treating a disease in a mammal comprising the administration of an effective amount of a composition of any one of claims 67-72 to the mammal, the drug being efficacious at treating the disease.

79. A method of preventing a disease in a mammal comprising the administration of an effective amount of a composition of any one of claims 1-6 to the mammal, the drug being efficacious at preventing the disease.

80. A method of preventing a disease in a mammal comprising the administration of an effective amount of a composition of any one of claims 67-72 to the mammal, the drug being efficacious at preventing the disease.

81. A method of any one of claims 77-80 wherein the disease is selected from inflammatory conditions, autoimmune, neurological disorders, cancer, and benign hyperproliferative diseases.

82. A method any one of claims 77-80 wherein the disease is arthritis.

83. A method any one of claims 77-80 wherein the disease is multiple sclerosis.

84. The method of any one of claims 77-80 wherein the disease is Alzheimer's disease.

85. The method of any one of claims 77-80 wherein the disease is psoriasis.

86. The method of any one of claims 77-80 wherein the disease is cancer.

87. The method any one of claims 77-80 wherein the disease is stenosis or restenosis.

88. The method any one of claims 77-80 wherein the disease is benign hyperplasia.

89. The method of any one of claims 77-80 wherein the hyperplasia is induced by a foreign body.

90. The method any one of claims 77-80 wherein the disease is cardiovascular disease.

91. The method any one of claims 77-80 wherein the disease is Inflammatory Bowel Disease.

92. The method any one of claims 77-80 wherein the composition is administered by a route selected from intravenous, intraarticular, intracutaneous, interstitial, subcutaneous, intramuscular injection, insertion into the rectum, oral, and implant into the body.

93. The method of claim 92 wherein the composition is administered by intravenous delivery of an aqueous micelle solution.

94. The method of claim 92 wherein the composition is administered by implanting a solid composition in the body, where the solid composition delivers drug to the body.

95. The method of claim 92 wherein the composition delivers paclitaxel or an analogue or derivative thereof to the body of the mammal.

96. The method of claim 93 wherein the composition delivers paclitaxel or an analogue or derivative thereof to the body of the mammal.

97. A method for enhancing the rate of dissolution of a water-soluble composition, wherein the composition comprises a hydrophobic drug and a polymer, the method comprising adding to the composition an amino acid that has a water-solubility of greater than about 2.5g per 100g water at 25 °C.

98. A method for enhancing the rate of dissolution of a water-soluble composition, wherein the composition comprises a hydrophobic drug and a polymer, the method comprising adding to the composition an oligopeptide that has a water-solubility of greater than about 2.5g per 100g water at 25 °C.